Art Unit 125

**HEARD:** 

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Appeal No. 89-2082

BOARD OF PATENT APPEALS

& INTERFERENCES

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October 1, 1990

UNITED STATES PATENT AND TRADEMARK OFFICE

# BEFORE THE BOARD OF PATENT APPEALS AND INTERFERENCES

Ex parte Nicholas S. Bodor

Application for Patent filed December 9, 1985, Serial No. 807,034; a Continuation of Serial No. 626,535 filed June 29, 1984; a Continuation of Serial No. 418,458 filed September 15, 1982; a Continuation-in-Part of Serial No. 265,785 filed May 21, 1981, Abandoned; a Continuation-in-Part of Serial No. 168,453 filed July 10, 1980, Abandoned. Soft Steroids Having Anti-Inflammatory Activity.

Teresa Stanek Rea et al. for appellant.

Primary Examiner - Douglas W. Robinson. Examiner - J. Lipovsky.

Before Goldstein, Tarring and W. Smith, Examiners-in-Chief. Goldstein, Examiner-in-Chief.

This appeal is from the examiner's final rejection of claims 1 to 45, 56 to 63 and 65 to 117. Claim 118 has been allowed, and claims 46 to 51 have been indicated as being drawn

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to allowable subject matter. A copy of appealed claim 1 is appended to this opinion.

References relied on by the examiner on appeal are:

Sarrett et al. (Sarrett)		3,558,675	Jan.	26,	1971
Phillips et al. (Phillips			Dec.	24,	1975
Phillips et al. (Phillips	<b>′</b> 721)	4,093,721	June	6,	1978
Edwards		4,263,289	Apr.	21,	1981

All of the appealed claims have been finally rejected under 35 U.S.C. 103 as being unpatentable over the combined teachings of the four references cited above. We shall not affirm this rejection.

We agree substantially with the position set forth by appellants in their brief on appeal and, in reversing the examiner's rejection, we are essentially adopting that position as our own.

Sarrett discloses a broad class of pregnanes having a carbonate substituent at the 17 position. The reference discloses that the compounds "possess progestational activity and are valuable as esterus regulating agents" (see the Abstract). We do not find in the Sarrett disclosure a teaching that the compounds have "high activities" as asserted in the examiner's answer. In fact, we find nothing in the reference to indicate any advantage of the disclosed carbonates over analogous carboxylic acid esters.

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The three remaining references, as asserted by appellants, disclose androstanes. There is no generic teaching in any of the references to bridge the gap between pregnanes and androstanes, and the examiner has provided no explanation based on logic and sound scientific reasoning to make up for the lack of such a teaching in the references. Thus, to whatever extent the references may be considered some evidence of obviousness, the evidence of record regarding the improved therapeutic index of the androstane carbonates relative to the androstane carboxylate esters, albeit drawn from a fairly limited number of comparisons, is adequate to outweigh that evidence of obviousness.

The decision of the examiner is reversed.

REVERSED

Melvin Goldstein Examiner-in-Chief

// n/...

Henry W. Tarring,/I

BOARD OF PATENT

APPEALS
AND
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Examiner-in-Chief

Examiner-in-Chief

William F. Smith

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Alexandria, VA 22313-1404

Norman H. Stepno

- 1. A compound selected from the group
  consisting of:
  - (a) a compound of the formula

$$\begin{array}{c|c}
 & X-R_1 \\
 & C=0 & 0 \\
 & R_3 & C
\end{array}$$

$$\begin{array}{c|c}
 & R_3 & C
\end{array}$$

$$\begin{array}{c|c}
 & R_4 & C
\end{array}$$

$$\begin{array}{c|c}
 & R_4 & C
\end{array}$$

$$\begin{array}{c|c}
 & R_3 & C
\end{array}$$

$$\begin{array}{c|c}
 & R_4 & C
\end{array}$$

 $R_1$  is  $C_1-C_{10}$  alkyl;  $C_2-C_{10}$  (monohydroxy or

wherein:

polyhydroxy)alkyl;  $C_1$ - $C_{10}$  (monohalo or polyhalo)alkyl; or - $CH_2$ COOR<sub>6</sub> wherein  $R_6$  is unsubstituted or substituted  $C_1$ - $C_{10}$  alkyl,  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkenyl or  $C_2$ - $C_{10}$  alkenyl, the substituents being selected from the group consisting of halo, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl,  $C_1$ - $C_1$ - $C_1$ 0 alkyl) and  $C_2$ - $C_1$ 0 alkyl), or  $C_1$ 0 alkyl) and  $C_2$ - $C_1$ 0 alkyl), or  $C_1$ 0 alkyl), the substituted or substituted phenyl or benzyl, the substituents being selected from the group consisting of lower alkyl, lower alkoxy, halo, carbamoyl, lower alkoxycarbonyl, lower alkanoyloxy, lower haloalkyl, mono(lower alkyl)amino, di(lower alkyl)amino,

mono(lower\_alkyl) carbamoyl, di(lower\_alkyl) carbamoyl,

lower alkylthio, lower alkylsulfinyl and lower alkylsulfonyl; or  $R_1$  is  $-CH_2CONR_7R_8$  wherein  $R_7$  and  $R_8$ , which can be the same or different, are each hydrogen, lower alkyl,  $C_3$ - $C_8$  cycloalkyl, phenyl or benzyl, or  $R_7$ and R<sub>8</sub> are combined such that -NR<sub>7</sub>R<sub>8</sub> represents the residue of a saturated monocyclic secondary amine; or R1 is unsubstituted or substituted phenyl or benzyl, the substituents being selected from the group of phenyl and benzyl substituents defined hereinabove with respect to  $R_6$ ; or  $R_1$  is -CH-Y-(lower alkyl) wherein Y is -S-, -SO-,  $-50_2$ - or -0- and  $R_9$  is hydrogen, lower alkyl or phenyl, or  $R_q$  and the lower alkyl group adjacent to Y are combined so that  $R_1$  is a cyclic system of the type - CH wherein Y is defined as above and the alkylene group -contains 3 to 10-carbon atoms, of which at least 3 and no more than 6 are ring atoms; or  $R_1$  is -CH-OCR<sub>6</sub> wherein  $R_6$ is defined as hereinabove and  $R_{10}$  is hydrogen, lower alkyl, phenyl or halophenyl;

R<sub>2</sub> is unsubstituted or substituted C<sub>1</sub>-C<sub>10</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkenyl or C<sub>2</sub>-C<sub>10</sub> alkenyl, the substituents being selected from the group consisting of halo, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, -NEC-(C<sub>1</sub>-C<sub>10</sub> alkyl) and -OC-(C<sub>1</sub>-C<sub>10</sub> alkyl), or R<sub>2</sub> is unsubstituted or substituted phenyl or benzyl, the substituents being selected from the group consisting of lower alkyl, lower alkoxy, halo, carbamoyl, lower alkoxycarbonyl, lower alkanoyloxy, lower haloalkyl, mono(lower alkyl)amino, di(lower alkyl)amino, mono(lower alkyl)carbamoyl, di(lower alkyl)carbamoyl, lower alkylsulfinyl and lower alkylsulfonyl;

. 5

 $R_3$  is hydrogen, a-hydroxy,  $\beta$ -hydroxy,

 $\alpha$ -methyl,  $\beta$ -methyl,  $=CH_2$ , or  $\alpha$ - or  $\beta$ -OCOR<sub>2</sub> wherein  $R_2$  is identical to  $R_2$  as defined hereinabove;

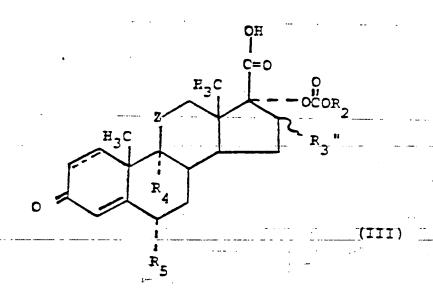
R<sub>4</sub> is hydrogen, fluoro or chloro;

 $R_{\varsigma}$  is hydrogen, fluoro, chloro or methyl;

X is -0- or -S-;

and the dotted line in ring A indicates that the 1,2 linkage is saturated or unsaturated;

- (b) a guaternary ammonium salt of a compound of formula (I) wherein at least one of  $R_1$  and  $R_2$  is a halo-substituted alkyl group;
  - (c) a compound of the formula



wherein  $R_2$ ,  $R_4$ ,  $R_5$ , and the dotted line in ring A are as defined in (a) above, Z is carbonyl or  $\beta$ -hydroxymethylene and  $R_3$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl, =CE<sub>2</sub> or  $\alpha$ - or  $\frac{1}{2}$ -OCOR<sub>2</sub> wherein  $R_2$  is identical to  $R_2$  above;

#### (d) a compound of the formula

$$\begin{array}{c}
\text{OM} \\
\text{I} \\
\text{C=0} \\
\text{OCOR}_{2} \\
\text{R}_{3}
\end{array}$$
(IV)

wherein M is alkali metal, thallium, alkaline earth metal/2 or NH $_4$  and R $_2$ , R $_3$ ", R $_4$ , R $_5$ , Z and the dotted line in ring A are as defined in (a) and (c) above;

## (e) a compound of the formula

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wherein  $R_3^{**}$  is hydrogen,  $\alpha$ -methyl,  $\beta$ -methyl,  $\alpha$ -OCOCl or  $\beta$ -OCOCl, and  $R_1$ ,  $R_4$ ,  $R_5$ , Z and the dotted line in ring A are as defined in (a) and (c) above;

### (f) a compound of the formula

$$\begin{array}{c|c}
C1 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

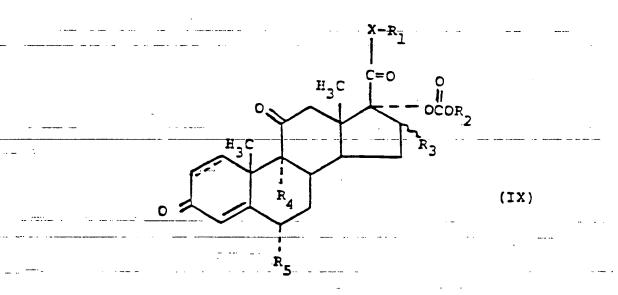
$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

$$\begin{array}{c}
C=0 \\
\downarrow \\
R_{3} \\
\hline
\end{array}$$

wherein  $R_2$ ,  $R_3$ ,  $R_4$ ,  $R_5$ , Z and the dotted line in ring A are as defined in (a) and (c) above; and

### (g) a compound of the formula



wherein R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, R<sub>5</sub>, X and the dotted line in ring A are as defined in (a) above.